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Application No. 10/537,520 Supplemental amendment dated March 23, 2009

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AMENDMENTS TO THE CLAIMS

The listing of claims will replace all prior versions, and listings, of claims in the application:

1. (Previously presented) A compound represented by formula:

$$(R^1)$$
 N
 N
 N

[wherein, R1 represents (1) a halogen atom, (2) hydroxyl, (3) nitro, (4) an optionally halogenated C1-6 alkyl, (5) a C1-6 alkyl-carbonyl optionally having 1 to 5 substituents selected from (1') a halogen atom, (2') a C₁₋₃ alkylenedioxy (3') nitro, (4') cyano, (5') a C₁₋₆ alkyl which may be substituted with 1 to 5 halogen atoms, (6') a C₂₋₆ alkenyl which may be substituted with 1 to 5 halogen atoms, (7') a carboxy-C2-6 alkenyl, (8') a C2-6 alkynyl which may be substituted with 1 to 5 halogen atoms, (9') a C₃₋₈ cycloalkyl which may be substituted with 1 to 5 halogen atoms, (10') a C₆₋₁₄ aryl, (11') a C₁₋₆ alkoxy which may be substituted with 1 to 5 halogen atoms, (12') a C₁₋₆ alkoxy-carbonyl-C₁₋₆ alkoxy, (13') hydroxyl, (14') a C₆₋₁₄ aryloxy, (15') a C₇₋₁₆ aralkyloxy, (16') mercapto, (17') a C₁₋₆ alkylthio which may be substituted with 1 to 5 halogen atoms, (18') a C₆₋₁₄ arylthio, (19') a C₇₋₁₆ aralkylthio, (20') amino, (21') a mono-C₁₋₆ alkylamino, (22') a mono-C₆₋₁₄ arylamino, (23') a di-C₁₋₆ alkylamino, (24') a di-C₆₋₁₄ arylamino, (25') formyl, (26') carboxy, (27') a C₁₋₆ alkyl-carbonyl, (28') a C₃₋₈ cycloalkyl-carbonyl, (29') a C₁₋₆ alkoxy-carbonyl, (30') a C₆₋₁₄ aryl-carbonyl, (31') a C₇₋₁₆ aralkyl-carbonyl, (32') a C₆₋₁₄ aryloxy-carbonyl, (33') a C₇₋₁₆ aralkyloxy-carbonyl, (34') a 5- or 6membered heterocyclic carbonyl, (35') carbamoyl, (36') a mono-C₁₋₆ alkyl-carbamoyl, (37') a di-C₁₋₆ alkyl-carbamoyl, (38') a mono-C₆₋₁₄ aryl-carbamoyl, (39') a 5- or 6-membered heterocyclic carbamoyl, (40') a C₁₋₆ alkylsulfonyl, (41') a C₆₋₁₄ arylsulfonyl, (42') formylamino, (43') a C₁₋₆ alkylcarbonylamino, (44') a C₆₋₁₄ aryl-carbonylamino, (45') a C₁₋₆ alkoxy-carbonylamino, (46') a C₁₋₆ alkylsulfonylamino, (47') a C₆₋₁₄ arylsulfonylamino, (48') a C₁₋₆ alkyl-carbonyloxy, (49') a C₆₋₁₄ aryl-carbonyloxy, (50') a C₁₋₆ alkoxy-carbonyloxy, (51') a mono-C₁₋₆ alkyl-carbamoyloxy, (52') a di-C₁₋₆alkyl-carbamoyloxy, (53') a mono-C₆₋₁₄ aryl-carbamoyloxy, (54') nicotinoyloxy, (55') a 5- to 7-

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membered saturated cyclic amino, (56') a 5- to 10-membered aromatic heterocyclic group and (57') sulfo (hereinafter simply referred to as Substituent group A);

- (6) a C₂₋₆ alkenyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A;
- (7) a C₂₋₆ alkynyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A;
- (8) a C_{3-8} cycloalkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A;
- (9) a C₆₋₁₄ aryl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A; (10) a C₇₋₁₆ aralkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A;
- (11) a 5- to 14-membered heterocyclic carbonyl containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms (this heterocyclic carbonyl may have 1 to 5 substituents selected from the Substituent group A); (12) an amino optionally having 1 or 2 substituents selected from (1') a C1-6 alkyl optionally having 1 to 5 substituents selected from the Substituent group A, (2') a C₂₋₆ alkenyl optionally having 1 to 5 substituents selected from the Substituent group A, (3') a C2-6 alkynyl optionally having 1 to 5 substituents selected from the Substituent group A, (4') a C₃₋₈ cycloalkyl optionally having 1 to 5 substituents selected from the Substituent group A, (5') a C₆₋₁₄ aryl optionally having 1 to 5 substituents selected from the Substituent group A, (6') a C₇₋₁₆ aralkyl optionally having 1 to 5 substituents selected from the Substituent group A, (7') a 5- to 14-membered heterocyclic group containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms, (8') a C1-6 alkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (9') a C2-6 alkenyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (10') a C₂₋₆ alkynyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (11') a C₃₋₈ cycloalkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (12') a C₆₋₁₄ arylcarbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (13') a C₇₋₁₆ aralkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A and

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(14') a 5- to 14-membered heterocyclic carbonyl containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms; R² is a group represented by formula:

(wherein $R^{4^{-}}$ represents hydrogen atom or cyano, and $R^{5^{-}}$ represents hydrogen atom, a C_{1-6} alkyl-carbonylamino); and, n is an integer of 0 to 4], or a salt thereof.

2-6. (Canceled)

- 7. (Previously presented) A 1, 3-benzothiazinone derivative, which is
- 2-(3-cyanophenyl)-4H-1,3-benzothiazin-4-one,
- 2-(4-acetylphenyl)-4H-1,3-benzothiazin-4-one.
- 2-(4-methylsulfonylphenyl)-4H-1,3-benzothiazin-4-one,
- 2-(4-acetylaminophenyl)-4H-1,3-benzothiazin-4-one, or
- $\hbox{$2$-(3-trifluoromethylphenyl)-$4H-1,3-benzothiazin-$4$-one.}$

8-10. (Canceled)

11. (Previously presented) A pharmaceutical composition comprising the compound according to claim 1 and a pharmaceutically acceptable carrier.

12-19. (Canceled)

20. (Currently amended) A compound represented by formula:

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wherein, R^2 represents a group represented by formula:

$$R^3$$
 R^4
 R^5
 R^6

wherein, one of R³ and R⁷ represents hydrogen atom, and the other is a C₁₋₆ alkyl optionally having 1 to 5 substituents selected from the Substituent group A or a C_{1-6} alkoxy optionally selected from the Substituent group A; and R4, R5 and R6 each represents hydrogen atom; one of R4 and R6 represents hydrogen atom, and the other is a bromine atom, cyano, an alkyl having a substituent selected from carboxy, a halogen atom, an alkoxycarbonyl and an arylcarbonylamino, a C_{1-6} alkoxy optionally having 1 to 5 substituents selected from the Substituent group A, an optionally substituted amino or alkoxycarbonyl and R3, R7 and R5 each represents hydrogen atom; and R5 represents hydroxy, cyano, an alkyl substituted with a halogen atom, aryl, an acyl, a carbamoyl optionally having 1 or 2 substituents selected from (1') a C1-6 alkyl optionally having 1 to 5 substituents selected from the Substituent group A, (2') a C2-6 alkenyl optionally having 1 to 5 substituents selected from the Substituent group A, (3') a C2-6 alkynyl optionally having 1 to 5 substituents selected from the Substituent group A, (4') a C₃₋₈ cycloalkyl optionally having 1 to 5 substituents selected from the Substituent group A, (5') a C₆₋₁₄ aryl optionally having 1 to 5 substituents selected from the Substituent group A, (6') a C₇₋₁₆ aralkyl optionally having 1 to 5 substituents selected from the Substituent group A, (7') a 5- to 14-membered heterocyclic carbonyl containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms, (8') a C1-6 alkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (9') a C_{2-6} alkenyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (10') a C₂₋₆ alkynyl-carbonyl optionally BOS2 728317.1 5

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having 1 to 5 substituents selected from the Substituent group A, (11') a C₃₋₈ cycloalkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (12') a C₆₋₁₄ aryl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A, (13') a C₇₋₁₆ aralkyl-carbonyl optionally having 1 to 5 substituents selected from the Substituent group A and (14') a 5- to 14-membered heterocyclic carbonyl containing 1 to 4 hetero atoms, which are 1 or 2 different atoms selected from nitrogen, sulfur and oxygen atoms, in addition to carbon atoms, or an amino optionally having 1 or 2 substituents selected from (1') a C₁₋₆ alkyl optionally having 1 to 5 substituents selected from the Substituent group A, (2') a C₂₋₆ alkenyl optionally having 1 to 5 substituents selected from the Substituent group A and R³, R⁴, R⁶ and R⁷ each represents hydrogen atom, or a salt thereof;

wherein Substituent group A is (1') a halogen atom, (2') a C₁₋₃ alkylenedioxy (3') nitro, (4') cyano, (5') a C1-6 alkyl which may be substituted with 1 to 5 halogen atoms, (6') a C2-6 alkenyl which may be substituted with 1 to 5 halogen atoms, (7') a carboxy-C2-6 alkenyl, (8') a C2-6 alkynyl which may be substituted with 1 to 5 halogen atoms, (9') a C3-8 cycloalkyl which may be substituted with 1 to 5 halogen atoms, (10') a C₆₋₁₄ aryl, (11') a C₁₋₆ alkoxy which may be substituted with 1 to 5 halogen atoms, (12') a C₁₋₆ alkoxy-carbonyl-C₁₋₆ alkoxy, (13') hydroxyl, (14') a C₆₋₁₄ aryloxy, (15') a C₇₋₁₆ aralkyloxy, (16') mercapto, (17') a C₁₋₆ alkylthio which may be substituted with 1 to 5 halogen atoms, (18') a C₆₋₁₄ arylthio, (19') a C₇₋₁₆ aralkylthio, (20') amino, (21') a mono-C₁₋₆ alkylamino, (22') a mono-C₆₋₁₄ arylamino, (23') a di-C₁₋₆ alkylamino, (24') a di-C₆₋₁₄ arylamino, (25') formyl, (26') carboxy, (27') a C₁₋₆ alkyl-carbonyl, (28') a C₃₋₈ cycloalkyl-carbonyl, (29') a C₁₋₆ alkoxycarbonyl, (30') a C₆₋₁₄ aryl-carbonyl, (31') a C₇₋₁₆ aralkyl-carbonyl, (32') a C₆₋₁₄ aryloxy-carbonyl, (33') a C₇₋₁₆ aralkyloxy-carbonyl, (34') a 5- or 6-membered heterocyclic carbonyl, (35') carbamoyl, (36') a mono-C₁₋₆ alkyl-carbamoyl, (37') a di-C₁₋₆ alkyl-carbamoyl, (38') a mono-C₆₋₁₄ arylcarbamoyl, (39') a 5- or 6-membered heterocyclic carbamoyl, (40') a C₁₋₆ alkylsulfonyl, (41') a C₆₋₁₄ arylsulfonyl, (42') formylamino, (43') a C₁₋₆ alkyl-carbonylamino, (44') a C₆₋₁₄ aryl-carbonylamino, (45') a C₁₋₆ alkoxy-carbonylamino, (46') a C₁₋₆ alkylsulfonylamino, (47') a C₆₋₁₄ arylsulfonylamino, (48') a C₁₋₆ alkyl-carbonyloxy, (49') a C₆₋₁₄ aryl-carbonyloxy, (50') a C₁₋₆ alkoxy-carbonyloxy, (51') a mono-C₁₋₆alkyl-carbamoyloxy, (52') a di-C₁₋₆alkyl-carbamoyloxy, (53') a mono-C₆₋₁₄ arylcarbamovloxy, (54') nicotinovloxy, (55') a 5- to 7-membered saturated cyclic amino, (56') a 5- to 10-membered aromatic heterocyclic group and (57') sulfo.

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21. (Previously presented) The compound according to claim 20, wherein one of R^4 and R^6 represents hydrogen atom, and the other is bromine atom, (iv) hydroxyl, (v) cyano, (vi) a carboxy-substituted alkyl, (vii) a C_{1-6} alkoxy optionally having 1 to 5 substituents selected from the Substituent group A, or an amino optionally having 1 or 2 substituents selected from (1') a C_{1-6} alkyl optionally having 1 to 5 substituents selected from the Substituent group A, (2') a C_{2-6} alkenyl optionally having 1 to 5 substituents selected from the Substituent group A and R^3 , R^7 and R^5 each

22. (Previously presented) The compound according to claim 20, wherein, R² represents: a group represented by formula:

$$R^3$$
 R^4
 R^5
 R^6

represents hydrogen atom,

wherein: (I) one of \mathbb{R}^3 and \mathbb{R}^7 represents: hydrogen atom, and the other is a C_{1-6} alkyl optionally having 1 to 5 substituents selected from the Substituent group A, wherein Substituent group A consists of a C_{1-6} alkyl-carbonyl optionally having 1 to 5 '1 substituents selected from (1') a halogen atom, (2') a C₁₋₃ alkylenedioxy, (3') nitro, (4') cyano, (5') a C₁₋₆ alkyl which may be substituted with 1 to 5 halogen atoms, (6') a C₂₋₆ alkenyl which may be substituted with 1 to 5 halogen atoms, (7') a carboxy-C2-6 alkenyl, (8') a C2-6 alkynyl which may be substituted with 1 to 5 halogen atoms, (9') a C_{3-8} cycloalkyl which may be substituted with 1 to 5 halogen atoms, (10') a C_{6-14} aryl, (11') a C_{1-6} alkoxy which may be substituted with 1 to 5 halogen atoms, (12') a C_{1-6} alkoxy- carbonyl- C_{1-6} alkoxy, (13') hydroxyl, (14') a C_{6-14} aryloxy, (15') a C_{7-16} aralkyloxy, (16') mercapto, (17') a C_{1-6} alkylthio which may be substituted with 1 to 5 halogen atoms, (18') a C_{6-14} arylthio, (19') a C_{7-16} aralkylthio, (20') amino, (21') a mono-C1-6 alkylamino, (22') a mono-C6-14 arylamino, (23') a di-C1-6 alkylamino, (24') a di- C_{6-14} arylamino, (25') formyl, (26') carboxy, (27') a C_{1-6} alkyl-carbonyl, (28') a C_{3-8} cycloalkyl-carbonyl, (29') a C_{1-6} alkoxy-carbonyl, (30') a C_{6-14} aryl-carbonyl, (31') a C_{7-16} aralkyl-carbonyl, (32') a C_{6-14} aryloxy-carbonyl, (33') a C_{7-16} aralkyloxy-carbonyl, (34') a 5- or 6-BOS2 728317.1 7

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membered heterocyclic carbonyl, (35') carbamoyl, (36') a mono- C₁₋₆ alkyl-carbamoyl, (37') a di-C1-6alkyl-carbamoyl, (38') a mono-C₆₋₁₄ aryl-carbamoyl, (39') a 5- or 6-membered heterocyclic carbamoyl, (40') a C₁₋₆ alkylsulfonyl, (41') a C₆₋₁₄ arylsulfonyl, (42') formylamino, (43') a C₁₋₆ alkylcarbonylamino, (46') a C₁₋₆ alkylcarbonylamino, (46') a C₁₋₆ alkylsulfonylamino, (46') a C₁₋₆ alkylsulfonylamino, (47') a C₆₋₁₄ arylsulfonylamino, (48') a C₁₋₆ alkyl-carbonyloxy, (49') a C₆₋₁₄ arylcarbonyloxy, (50') a C₁₋₆ alkoxy-carbonyloxy, (51') a mono-C₁₋₆ alkyl-carbamoyloxy, (52') a di-C₁₋₆ alkyl-carbamoyloxy, (53') a mono-C₆₋₁₄ aryl-carbamoyloxy, (54') nicotinoyloxy, (55') a 5- to 7-membered saturated cyclic amino, (56') a 5- to 10-membered aromatic heterocyclic group and (57') sulfo; a C₁₋₆ alkoxy optionally having 1 to 5 substituents selectedfrom Substituent group A; and R⁴, R⁵ and R6 each represents hydrogen atom; or

(II) one of R⁴ and R⁶ each independently represents:

hydrogen atom; and

the other is bromine atom; cyano; a C_{1-6} alkyl having 1 to 3 substituents selected from carboxy, a halogen atom, a C_{1-6} alkoxy-carbonyl and a C_{6-14} aryl-carbonylamino; a C_{1-6} alkoxy optionally having 1 to 5 substituents selected from the Substituent group A, an amino having a C_{1-6} alkyl-carbonyl, a C_{1-6} alkoxy-carbonyl or

(III) R^5 represents: hydroxy; cyano; a C_{1-6} alkyl substituted with 1 to 5 halogen atoms; a C_{6-14} aryl; a C_{1-6} alkyl-carbonyl; a carbamoyl having 2 C_{1-6} alkyl groups or an amino having a C_{1-6} alkyl-carbonyl group.

23. (Previously presented) The compound according to claim 20, wherein R² is a group represented by formula:

(wherein (1) $R^{3'}$ represents a C_{1-6} alkoxy or a C_{1-6} alkyl substituted with 1 to 5 halogen atoms, and $R^{4'}$ and $R^{5'}$ each represents hydrogen atom; (2) $R^{4'}$ represents bromine atom, cyano, a C_{1-6} alkyl having 1 to 3 substituents selected from carboxy, a halogen atom, a C_{1-6} alkoxy-carbonyl and a C_{6-14} aryl-carbonylamino, a C_{1-6} alkoxy substituted with a C_{1-6} alkoxy-carbonyl or a C_{1-6} alkyl-

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carbonylamino, and $R^{3'}$ and $R^{5'}$ each represents hydrogen atom; or (3) $R^{5'}$ represents hydroxy, cyano, a C_{1-6} alkyl substituted with 1 to 5 halogen atoms, a C_{6-14} aryl, a C_{1-6} alkyl-carbonyl, a di- C_{1-6} alkyl-carbonyl or a C_{1-6} alkyl-carbonylamino, and $R^{3'}$ and $R^{4'}$ each represents hydrogen atom).

24. (Previously presented) The compound according to claim 23, wherein \mathbb{R}^2 is a group represented by formula:

(wherein (1) $R^{3'}$ represents a C_{1-6} alkoxy or a C_{1-6} alkyl substituted with 1 to 5 halogen atoms, and $R^{4'}$ and $R^{5'}$ each represents hydrogen atom; (2) $R^{4'}$ represents bromine atom, cyano, a C_{1-6} alkyl having 1 to 3 substituents selected from carboxy, a halogen atom, a C_{1-6} alkoxy-carbonyl and a C_{6-14} alyl-carbonylamino, a C_{1-6} alkoxy substituted with a C_{1-6} alkoxy-carbonyl or a C_{1-6} alkyl-carbonylamino, and $R^{3'}$ and $R^{5'}$ each represents hydrogen atom; or (3) $R^{5'}$ represents hydroxy, cyano, a C_{1-6} alkyl substituted with 1 to 5 halogen atoms, a C_{6-14} aryl, a C_{1-6} alkyl-carbonyl, a di- C_{1-6} alkyl-carbonyl or a C_{1-6} alkyl-carbonylamino, and $R^{3'}$ and $R^{4'}$ each represents hydrogen atom.

25. (Previously presented) The compound according to claim 23, wherein R² is a group represented by formula:

(wherein (1) R^{3'} represents a C₁₋₆ alkyl substituted with 1 to 5 halogen atoms, and R^{4'} and R^{5'} each represents hydrogen atom; (2) R^{4'} represents cyano, a C₁₋₆ alkyl having 1 to 3 substituents selected from carboxy, a halogen atom, a C₁₋₆ alkoxy-carbonyl and a C₆₋₁₄ aryl-carbonylamino, a C₁₋₆ alkoxy substituted with a C₁₋₆ alkoxy-carbonyl or a C₁₋₆ alkyl-carbonylamino, and R^{3'} and R^{5'} each represents hydrogen atom; or (3) R^{5'} represents cyano, a C₁₋₆ alkyl substituted with 1 to 5 halogen atoms, a C₆₋₁₄ alyl or a C₁₋₆ alkyl-carbonylamino, and R^{3'} and R^{4'} each represents hydrogen atom.

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26. (Previously presented) The compound according to claim 20, wherein R² is a group represented by formula:

(wherein (1) $R^{4"}$ represents cyano and $R^{5"}$ represents hydrogen atom, or (2) $R^{4"}$ represents hydrogen atom and $R^{5"}$ represents a C_{1-6} alkyl-carbonyl or a C_{1-6} alkyl- 1 carbonylamino).

- 27. (Previously presented) A pharmaceutical composition comprising the compound according to claim 20 and a pharmaceutically acceptable carrier.
 - 28. (Canceled)
 - 29. (Canceled)